WO2004048317
Equivalent

2004-432722/41 B05 C03 FARB 2002.11.25
BAYER HEALTHCARE AG *DE 10254875-A1
2002.11.25 2002-1054875(+2002DE-1054875) *(2004.06.03)* C07C 317/00, A61K 31/10, A61P 25/28

New phenyl-(1-phenylalkyl) sulfoxide and sulfone compounds, are beta-amyloid peptide release and deposition inhibitors, especially useful for treating or preventing Alzheimer's disease

C2004-162209

Addnl. Data: KALLUS C, ROEHRIG S, WIRTZ S, BAUMANN K, GNOTH M J, LANG D, REINHARD F, HENDRIX M

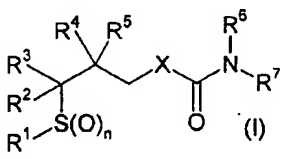
B(6-H, 7-H, 10-A10, 14-F2, 14-G1B, 14-J1A3, 14-J1A4, 14-L6, 14-N16, 14-N17B, 14-S1) C(6-H, 7-H, 10-A10, 14-F2, 14-G1B, 14-J1A3, 14-J1A4, 14-L6, 14-N16, 14-N17B, 14-S1) .9

NOVELTY

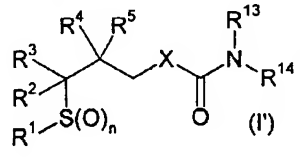
Phenyl-(carbamoyl-, carbamoyloxy- or ureido-substituted 1-phenylalkyl) sulfoxide or sulfone derivatives (I) and (I') are new.

DETAILED DESCRIPTION

Phenyl-(carbamoyl-, carbamoyloxy- or ureido-substituted 1-phenylalkyl) sulfoxide or sulfone derivatives of formula (I) and (I') and their salts, solvates and solvated salts are new.



(I)



(I')

R^1, R^2 = phenyl (optionally substituted (os) by one or more of halo, CN, CF_3, OCF_3, alkyl, hydroxyalkyl, 3-8C cycloalkyl, alkoxy or alkylthio);
n = 1 or 2;
R^3, R^4 = H, CN or CF_3, or alkyl or 3-8C cycloalkyl (both os by one or more of OH, alkoxy, CN, CF_3, OCF_3, NO_2, NH_2, aminoalkyl, COOH or alkoxycarbonyl);
R^5 = H, alkyl or alkoxy;
X = O, alkylene or NR^8;

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R^8 = H or alkyl;
R^6 = H, alkyl or 3-8C cycloalkyl;
R^7 = $(A)_a$-A'-$(D)_d$-CO-R^9, or
NR^6R^7 = heterocyclyl substituted by $(E)_e$-$(E')_f$-CO-R^9 and os by one or more of aryl, alkyl, =O and OH;
a, d, e, f = 0 or 1;
A = alkylene;
A' = arylene, heteroarylene or heterocyclylene (all os by one or more of halo, CN, =O, OH, alkyl, alkoxy, CF_3 and OCF_3);
D, E' = alkylene (optionally having one CH_2 replaced by O or NR^{10});
R^{10} = H or alkyl;
R^9 = OH or $NR^{11}R^{12}$;
R^{11} = H, alkyl or 3-10C cycloalkyl;
R^{12} = alkyl, aryl or 3-10C cycloalkyl, or
$NR^{11}R^{12}$ = heterocyclyl;
E = arylene or heteroarylene;
R^{13} = H, aryl, alkyl or 3-8C cycloalkyl;
R^{14} = $(G)_g$-T-K'-CO-R^{15}, or
$NR^{13}R^{14}$ = heterocyclyl substituted by $(M)_m$-Q-CO-R^9 and os by one or more of aryl, alkyl, =O and OH;
G = alkylene;
g, m = 0 or 1;
T = arylene, heteroarylene or heterocyclylene (all os by one or more of halo, CN, =O, OH, alkyl, alkoxy, CF_3 and OCF_3);
K', Q = alkylene (optionally having one CH_2 replaced by O or NR^{10});
R^{15} = NH_2 or OR^{16};
R^{16} = alkyl, 3-10C cycloalkyl or heterocyclyl, and
M = arylene or heteroarylene;
unless specified otherwise alkyl or alkylene moieties have 1-6C and aryl or arylene moieties 6-10C.
INDEPENDENT CLAIMS are included for the preparation of (I) and (I').

ACTIVITY

Nootropic; Neuroprotective; Cerebroprotective; Tranquilizer; Antiparkinsonian; Anticonvulsant; Anti-HIV; Neuroleptic.

MECHANISM OF ACTION

Amyloid peptide formation inhibitor; Amyloid peptide release inhibitor; Amyloid peptide accumulation inhibitor; Amyloid peptide deposition inhibitor; γ-secretase inhibitor.
Compounds (I) and (I') exhibited IC_{50} values of 1-3000 nM (no specific values for individual compounds given) in tests for inhibition

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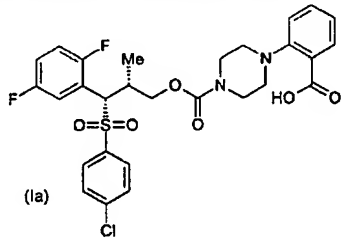
of β-amyloid peptide release in human cell lines H4 and HEK293.

USE

Used for the treatment and/or prophylaxis of Alzheimer's disease (claimed). More generally, (I) and (I') are useful in human or veterinary medicine for the treatment and/or prevention of disorders associated with elevated formation, release, accumulation and/or deposition of amyloid peptides (e.g. β-amyloid peptide), specifically cognitive disorders such as mild cognitive impairment, age-associated learning or memory disorders, vascular dementia, cranial-cerebral trauma, stroke, post-stroke dementia, general concentration deficiency, attention deficit hyperactivity syndrome, dementia with Lewy bodies or degeneration of the frontal lobes, Pick's disease, Parkinson's disease, progressive nuclear palsy, amyotrophic lateral sclerosis, Huntington's disease, multiple sclerosis, thalamic degeneration, Creutzfeld-Jacob dementia, HIV dementia and schizophrenia with dementia.

SPECIFIC COMPOUNDS

15 Compounds (I) and (I') are disclosed e.g. 2-(4-((((2R, 3S)-3-((4-chlorophenyl)-sulfonyl)-3-(2,5-difluorophenyl)-2-methylpropyl)-oxy)-carbonyl)-1-piperazinyl)-benzoic acid (Ia).



(Ia)

ADMINISTRATION

(I)/(I') are administered locally or systemically, e.g. orally, parenterally or transdermally. The dosage is 0.001-10 (preferably 0.005-3) mg/kg parenterally or 0.001-100 (preferably 0.005-30) mg/kg orally.

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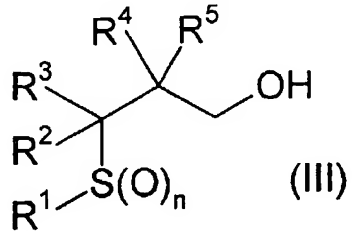
EXAMPLE

A solution of 100 mg 1-((((2R, 3S)-3-((4-chlorophenyl)-sulfonyl)-3-(2,5-difluorophenyl)-2-methylpropyl)-oxy)-carbonyl)-oxy)-2,5-pyrrolidine-dione and 0.10 ml N,N-diisopropylethylamine in 1 ml acetonitrile was treated with 49 mg 2-(1-piperazinyl)-benzoic acid and 3 ml dimethyl formamide (as solubilizer) and stirred for 16 hours at room temperature. The crude product was purified by preparative HPLC to give 90 mg (76%) of 2-(4-((((2R, 3S)-3-((4-chlorophenyl)-sulfonyl)-3-(2,5-difluorophenyl)-2-methylpropyl)-oxy)-carbonyl)-1-piperazinyl)-benzoic acid (Ia) as colorless solid.

TECHNOLOGY FOCUS

Organic Chemistry - Preparation (claimed): Preparation of (I) or (I') comprises e.g. reacting an alcohol of formula (III) with a carbamoyl compound of formula NR^6R^7-CO-Y^1 (IV) or $NR^{13}R^{14}$-CO-Y^1 (V) to give (I: X = O) and (I': X = O), respectively.



(III)

Y^1 = a leaving group.
(44pp2400DwgNo.0/0)

DE 10254875-A/3

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L19 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:450536 HCAPLUS Full-text
DN 141:6918
ED Entered STN: 04 Jun 2004
TI Preparation of phenyl benzyl sulfone carbamates for treatment of Alzheimer's disease.
IN Kallus, Christopher; Roehrig, Susanne; Wirtz, Stephan; Baumann, Karlheinz; Gnoth, Mark Jean; Lang, Dieter; Reinhard, Friedrich; Hendrix, Martin
PA Bayer Healthcare Ag, Germany
SO Ger. Offen., 44 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM C07C317-00
ICS A61K031-10; A61P025-28
CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 27, 28, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 10254875	A1	20040603	DE 2002-10254875	20021125
WO 2004048321	**A1**	**20040610**	**WO 2003-EP12666**	**20031113 <--**

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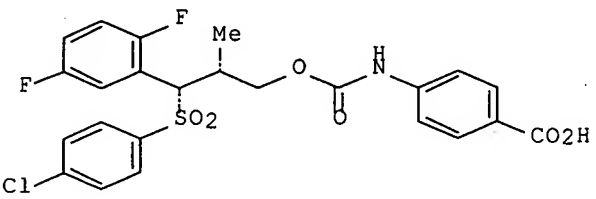
PRAI DE 2002-10254875 A 20021125
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 10254875	ICM	C07C317-00
	ICS	A61K031-10; A61P025-28
DE 10254875	ECLA	C07C317/18

OS MARPAT 141:6918
GI



I

AB R1SOnCR2R3CR4R5CH2XCONR6R7 [R1, R2 = (substituted) Ph; R3, R4 = H, cyano, CF3, (substituted) alkyl, cycloalkyl; R5 = H, alkyl, alkoxy; X = O, alkylene, NR8; R8 = H, alkyl; R6 = H, alkyl, cycloalkyl; R7 = AaBDdCOR9; n = 1, 2; a, d = O, 1; A = alkylene; B = (substituted) arylene, heteroarylene, heterocyclylene; D = alkylene optionally interrupted by O, NR10; R10 = H, alkyl; NR6R7 = substituted heterocyclyl], were prepared as antialzheimer's drugs (no data). Thus, (2R,3S)-3-[(4- chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropyl 1H-imidazole-1-

carboxylate (preparation given) in THF at 10° was treated with Me trifluoromethanesulfonate followed by stirring for 10 min. at room temperature Et3N and tert-Bu 4-aminobenzoate were added followed by stirring for 16 h to give an unisolated ester intermediate which was treated with CF3CO2H in CH2Cl2 to give 37% title compound (I).

ST phenyl benzyl sulfone carbamate carboxylate prepn Alzheimers disease treatment

IT Sulfones
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl; preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's disease)

IT Anti-Alzheimer's agents
Drug delivery systems
Human
(preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's disease)

IT Aromatic compounds
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfones; preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's disease)

IT Alzheimer's disease
(treatment; preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's disease)

IT 697260-55-2P 697260-56-3P 697260-57-4P 697260-58-5P 697260-59-6P
697260-60-9P 697260-61-0P 697260-62-1P 697260-63-2P 697260-64-3P
697260-65-4P 697260-66-5P 697260-67-6P 697260-68-7P 697260-69-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's disease)

IT 470716-48-4P 566942-20-9P 697260-70-1P
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's disease)

IT 566942-09-4P 566942-10-7P 566942-22-1P 566942-23-2P 566942-24-3P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's disease)

IT 56-91-7, 4-Aminomethylbenzoic acid 106-54-7, 4-Chlorothiophenol 109-90-0, Ethyl isocyanate 110-85-0, Piperazine, reactions 123-38-6, Propionaldehyde, reactions 530-62-1 825-83-2, 4-(Trifluoromethyl)thiophenol 2646-90-4, 2,5-Difluorobenzaldehyde 5006-62-2, Ethyl 3-piperidinecarboxylate 18144-47-3, tert-Butyl 4-aminobenzoate 31469-15-5, 1-Methoxy-2-methyl-1-trimethylsilyloxypropene 52913-11-8 59184-90-6, Ethyl 4-piperidinylacetate 67531-68-4, Ethyl 3-isocyanatobenzoate 73415-84-6 74124-79-1, N,N'-Disuccinimidyl carbonate 181519-00-6 446831-27-2 496808-05-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's

```
        disease)
IT   566942-19-6P   566942-21-0P   566942-35-6P   566942-40-3P   566942-47-0P
     566942-48-1P   566942-49-2P   566942-50-5P   697260-71-2P   697260-74-5P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of Ph benzyl sulfone carbamates for treatment of Alzheimer's
        disease)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DICTIONARY FILE UPDATES:  28 FEB 2005  HIGHEST RN 839671-97-5

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L20  52 ANSWERS   REGISTRY  COPYRIGHT 2005 ACS on STN
IN   2,5-Pyrrolidinedione, 1-[[[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-
     difluorophenyl)-2-methylpropoxy]carbonyl]oxy]- (9CI)
MF   C21 H18 Cl F2 N O7 S

Absolute stereochemistry.
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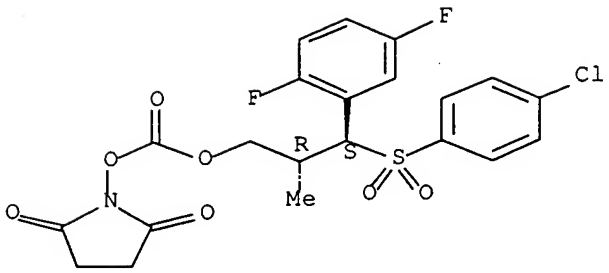

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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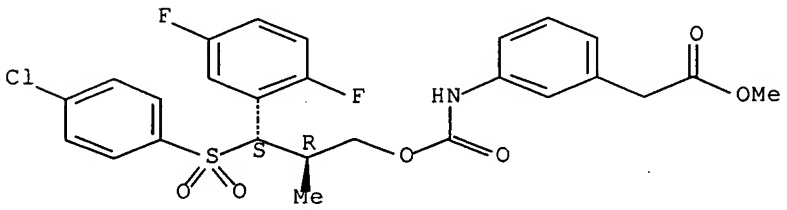
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzeneacetic acid, 3-[[[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]amino]-, methyl ester (9CI)
MF C26 H24 Cl F2 N O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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MF C7 H15 N3 O . C2 H F3 O2

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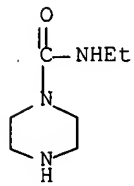

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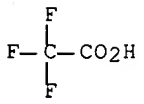
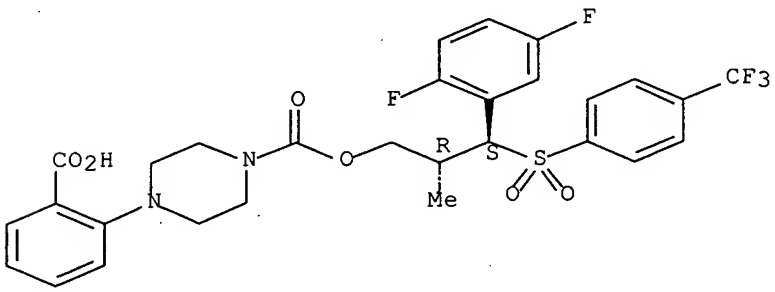


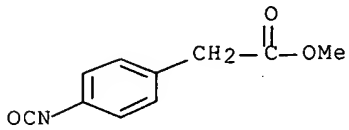
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1-Piperazinecarboxylic acid, 4-(2-carboxyphenyl)-, 1-[(2R,3S)-3-(2,5-difluorophenyl)-2-methyl-3-[[4-(trifluoromethyl)phenyl]sulfonyl]propyl] ester (9CI)
MF C29 H27 F5 N2 O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzeneacetic acid, 4-isocyanato-, methyl ester (9CI)
MF C10 H9 N O3

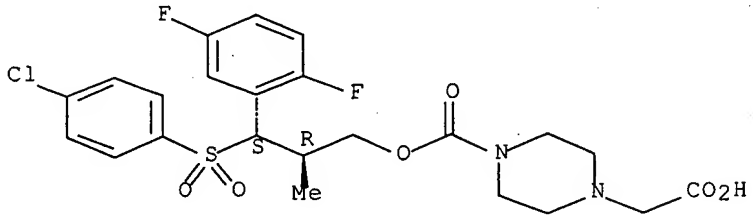


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

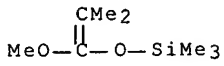
IN 1-Piperazineacetic acid, 4-[[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]- (9CI)
MF C23 H25 Cl F2 N2 O6 S

Absolute stereochemistry.



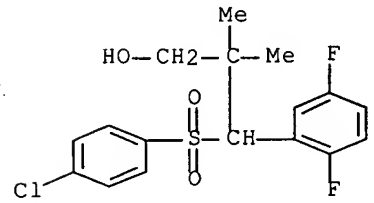
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Silane, [(1-methoxy-2-methyl-1-propenyl)oxy]trimethyl- (9CI)
MF C8 H18 O2 Si
CI COM



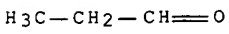
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)sulfonyl]-2,5-difluoro-β,β-dimethyl- (9CI)
MF C17 H17 Cl F2 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

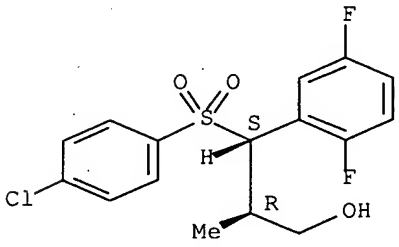
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Propanal (9CI)
MF C3 H6 O
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)sulfonyl]-2,5-difluoro-β-methyl-, (βR,γS)-rel- (9CI)
MF C16 H15 Cl F2 O3 S

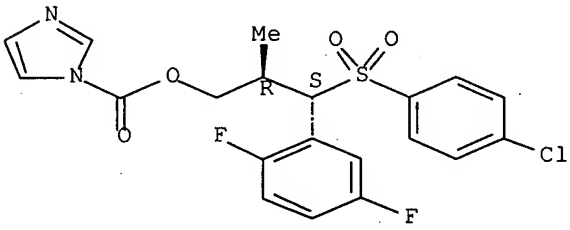
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazole-1-carboxylic acid, (2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropyl ester (9CI)
MF C20 H17 Cl F2 N2 O4 S

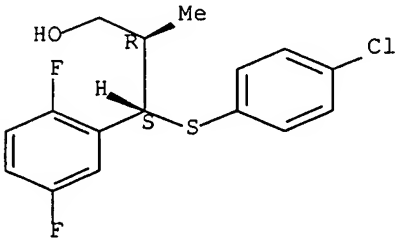
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)thio]-2,5-difluoro-β-methyl-, (βR,γS)-rel- (9CI)
MF C16 H15 Cl F2 O S

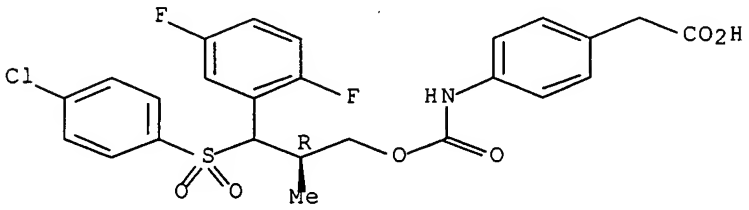
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

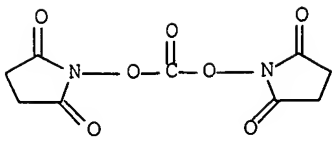
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzeneacetic acid, 4-[[[(2R)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]amino]- (9CI)
MF C25 H22 Cl F2 N O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

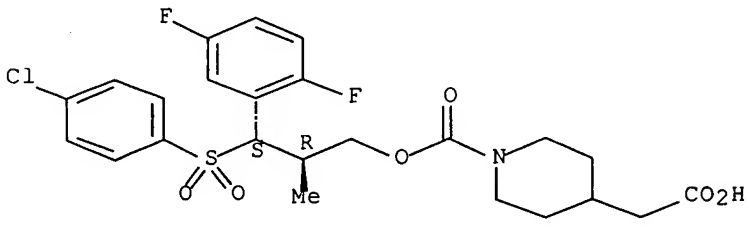
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 2,5-Pyrrolidinedione, 1,1'-[carbonylbis(oxy)]bis- (9CI)
MF C9 H8 N2 O7
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

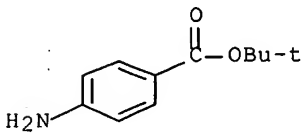
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 4-Piperidineacetic acid, 1-[[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]- (9CI)
MF C24 H26 Cl F2 N O6 S

Absolute stereochemistry.



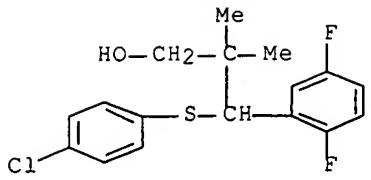
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzoic acid, 4-amino-, 1,1-dimethylethyl ester (9CI)
MF C11 H15 N O2



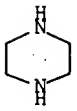
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)thio]-2,5-difluoro-β,β-dimethyl- (9CI)
MF C17 H17 Cl F2 O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

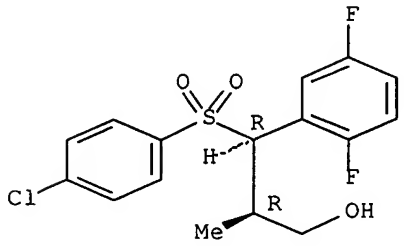
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Piperazine (8CI, 9CI)
MF C4 H10 N2
CI COM, RPS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)sulfonyl]-2,5-difluoro-β-methyl-, (βR,γR)-rel- (9CI)
MF C16 H15 Cl F2 O3 S

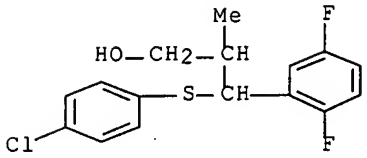
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)thio]-2,5-difluoro-β-methyl-

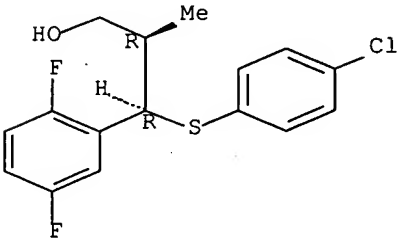
(9CI)
MF C16 H15 Cl F2 O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)thio]-2,5-difluoro-β-methyl-, (βR,γR)-rel- (9CI)
MF C16 H15 Cl F2 O S

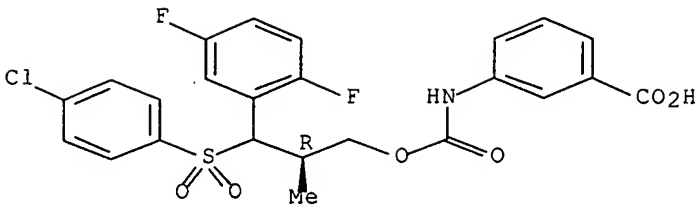
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

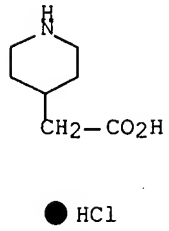
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzoic acid, 3-[[[(2R)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]amino]- (9CI)
MF C24 H20 Cl F2 N O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

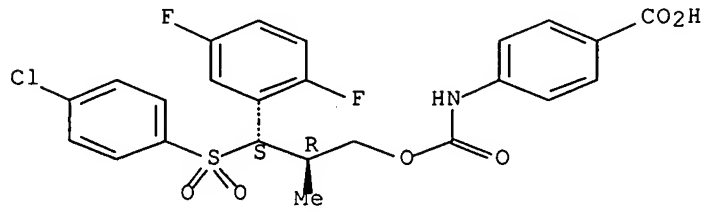
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 4-Piperidineacetic acid, hydrochloride (6CI, 9CI)
MF C7 H13 N O2 . Cl H



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

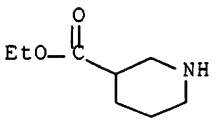
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzoic acid, 4-[[[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]amino]- (9CI)
MF C24 H20 Cl F2 N O6 S

Absolute stereochemistry.



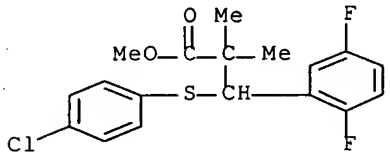
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 3-Piperidinecarboxylic acid, ethyl ester (9CI)
MF C8 H15 N O2
CI COM



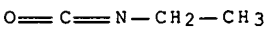
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanoic acid, β-[(4-chlorophenyl)thio]-2,5-difluoro-α,α-dimethyl-, methyl ester (9CI)
MF C18 H17 Cl F2 O2 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

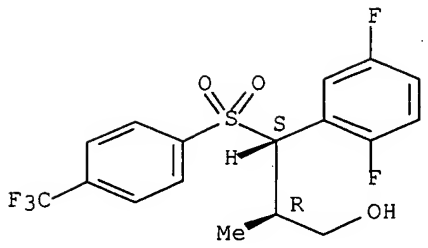
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Ethane, isocyanato- (9CI)
MF C3 H5 N O
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, 2,5-difluoro-β-methyl-γ-[[4-(trifluoromethyl)phenyl]sulfonyl]-, (βR,γS)- (9CI)
MF C17 H15 F5 O3 S

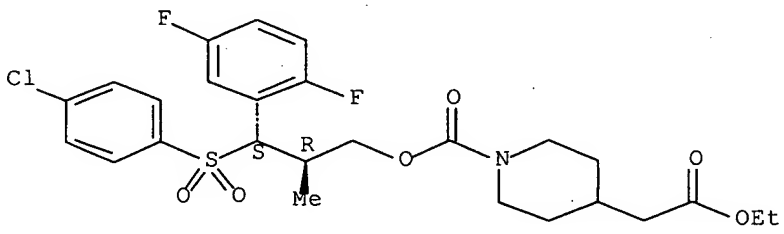
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

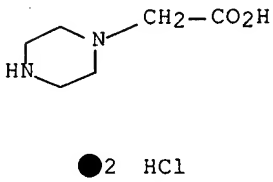
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 4-Piperidineacetic acid, 1-[[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]-, ethyl ester (9CI)
MF C26 H30 Cl F2 N O6 S

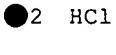
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1-Piperazineacetic acid, dihydrochloride (9CI)
MF C6 H12 N2 O2 . 2 Cl H

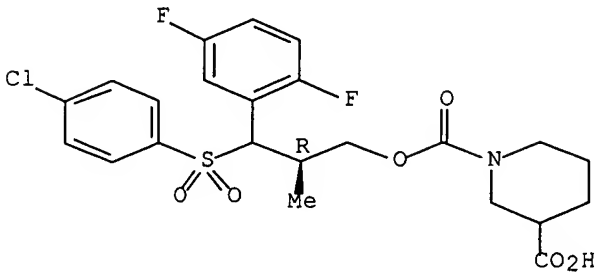




L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1,3-Piperidinedicarboxylic acid, 1-[(2R)-3-[(4-chlorophenyl)sulfonyl]-3-

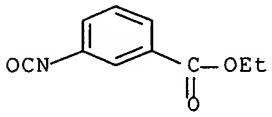
(2,5-difluorophenyl)-2-methylpropyl] ester (9CI)
MF C23 H24 Cl F2 N O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

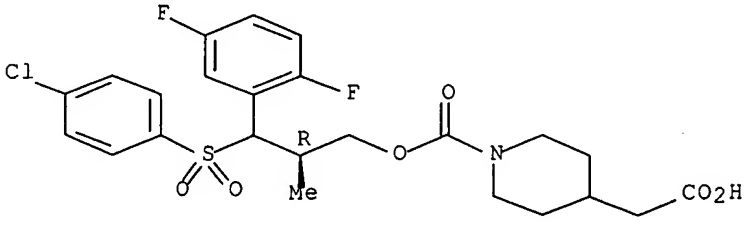
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzoic acid, 3-isocyanato-, ethyl ester (9CI)
MF C10 H9 N O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

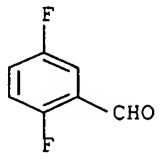
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 4-Piperidineacetic acid, 1-[[(2R)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]- (9CI)
MF C24 H26 Cl F2 N O6 S

Absolute stereochemistry.



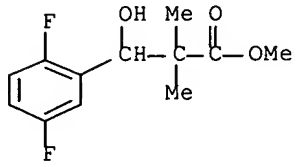
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzaldehyde, 2,5-difluoro- (6CI, 8CI, 9CI)
MF C7 H4 F2 O



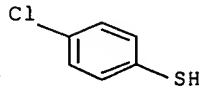
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanoic acid, 2,5-difluoro-β-hydroxy-α,α-dimethyl-, methyl ester (9CI)
MF C12 H14 F2 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

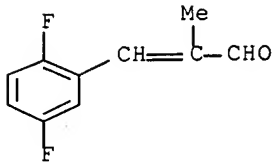
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenethiol, 4-chloro- (9CI)
MF C6 H5 Cl S
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 2-Propenal, 3-(2,5-difluorophenyl)-2-methyl- (9CI)

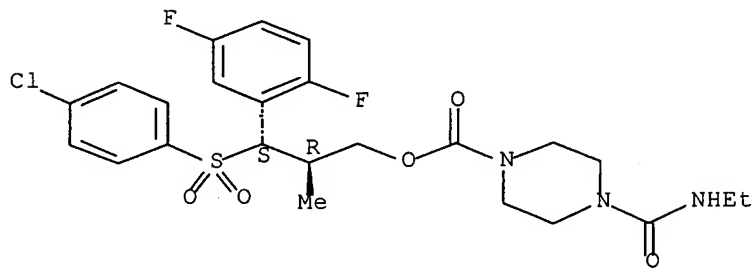
MF C10 H8 F2 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

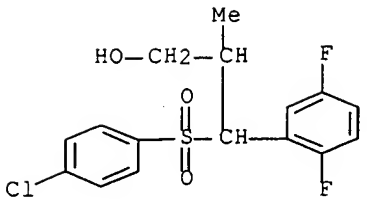
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1-Piperazinecarboxylic acid, 4-[(ethylamino)carbonyl]-, (2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropyl ester (9CI)
MF C24 H28 Cl F2 N3 O5 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

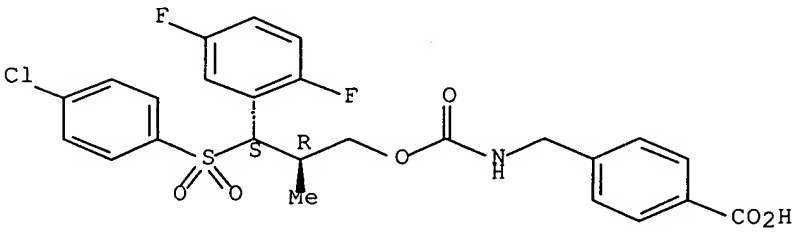
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)sulfonyl]-2,5-difluoro-β-methyl- (9CI)
MF C16 H15 Cl F2 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

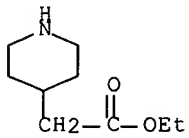
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzoic acid, 4-[[[[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]amino]methyl]- (9CI)
MF C25 H22 Cl F2 N O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 4-Piperidineacetic acid, ethyl ester (9CI)
MF C9 H17 N O2
CI COM

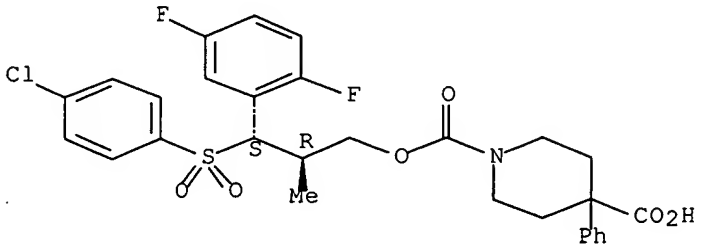


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

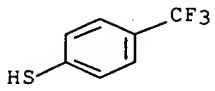
IN 1,4-Piperidinedicarboxylic acid, 4-phenyl-, 1-[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropyl] ester (9CI)
MF C29 H28 Cl F2 N O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

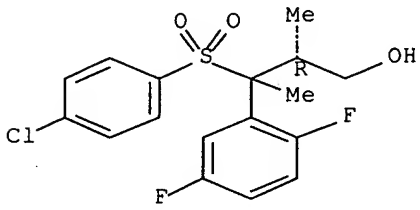
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenethiol, 4-(trifluoromethyl)- (9CI)
MF C7 H5 F3 S
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

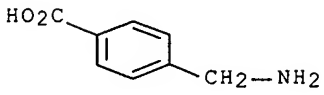
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, γ-[(4-chlorophenyl)sulfonyl]-2,5-difluoro-β,γ-dimethyl-, (βR)- (9CI)
MF C17 H17 Cl F2 O3 S

Absolute stereochemistry.



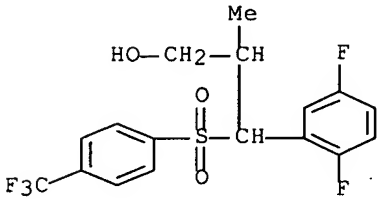
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzoic acid, 4-(aminomethyl)- (9CI)
MF C8 H9 N O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

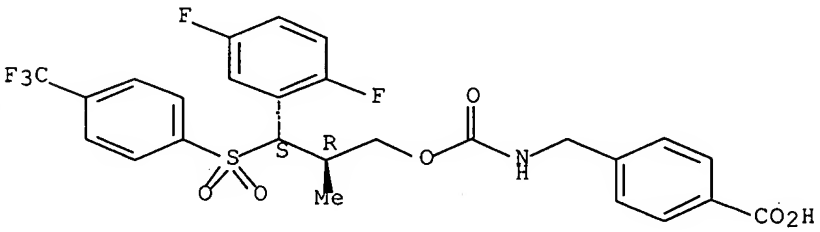
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzenepropanol, 2,5-difluoro-β-methyl-γ-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI)
MF C17 H15 F5 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

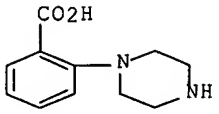
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzoic acid, 4-[[[[(2R,3S)-3-(2,5-difluorophenyl)-2-methyl-3-[[4-(trifluoromethyl)phenyl]sulfonyl]propoxy]carbonyl]amino]methyl]- (9CI)
MF C26 H22 F5 N O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

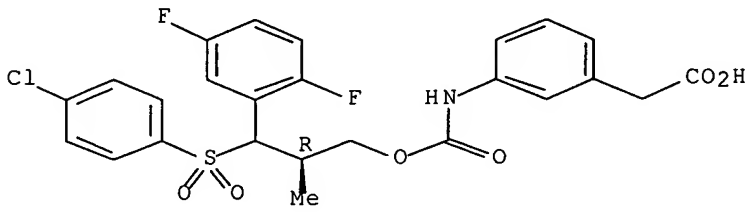
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzoic acid, 2-(1-piperazinyl)- (9CI)
MF C11 H14 N2 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

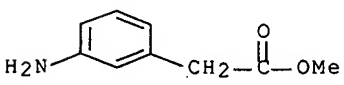
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzeneacetic acid, 3-[[[(2R)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropoxy]carbonyl]amino]- (9CI)
MF C25 H22 Cl F2 N O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

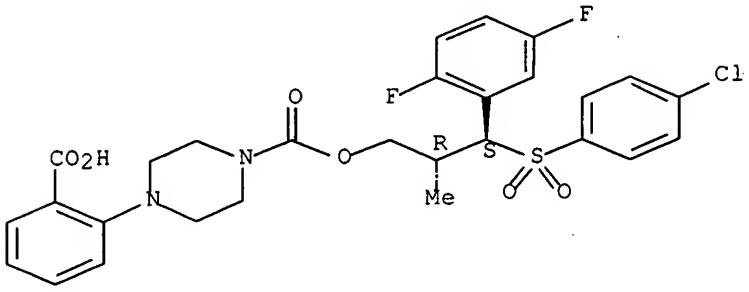
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzeneacetic acid, 3-amino-, methyl ester (9CI)
MF C9 H11 N O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

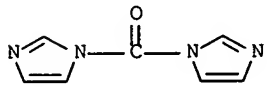
L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1-Piperazinecarboxylic acid, 4-(2-carboxyphenyl)-, 1-[(2R,3S)-3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)-2-methylpropyl] ester (9CI)
MF C28 H27 Cl F2 N2 O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L20 52 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazole, 1,1'-carbonylbis- (9CI)
MF C7 H6 N4 O
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1989:149173 HCAPLUS Full-text
DN 110:149173
ED Entered STN: 30 Apr 1989
TI Cloning of antibiotic nosiheptide-resistance gene of Streptomyces
IN Ogawara, Hiroshi; Nakano, Michiko; Ogishi, Haruyuki; Cho, Hiroshi; Goto, Tomoko
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C12N015-00
ICA C12P021-00
ICI C12N015-00, C12R001-465
CC 3-4 (Biochemical Genetics)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63059894	A2	19880315	JP 1986-203496	19860829
	JP 07087786	B4	19950927		
PRAI	JP 1986-203496		19860829		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 63059894	ICM	C12N015-00
	ICA	C12P021-00
	ICI	C12N015-00, C12R001-465

AB The gene encoding antibiotic nosiheptide (I)-resistance, which could enhance the production of I, is cloned from Streptomyces. MluI-digested DNA of S. actuosus was cloned. The gene encoding I-resistance was isolated and tested in I-sensitive Streptomyces such as S. lividans.
ST nosiheptide resistance gene cloning Streptomyces
IT Streptomyces lividans
(cloning in, of Streptomyces actuosus nosiheptide-resistance gene)
IT Gene and Genetic element, microbial
RL: BIOL (Biological study)
(for nosiheptide-resistance, of Streptomyces actuosus, cloning in Streptomyces of)
IT Streptomyces actuosus
(nosiheptide-resistance gene fo, cloning of)
IT Molecular cloning
(of nosiheptide resistance gene, of Streptomyces actuosus)
IT 56377-79-8, Nosiheptide
RL: PRP (Properties)
(resistance to, gene from Streptomyces actuosus for, cloning of)